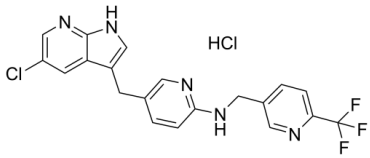
Data Sheet

Product Name:	Pexidartinib (hydrochloride)
Cat. No.:	CS-0092634
CAS No.:	2040295-03-0
Molecular Formula:	$C_{20}H_{16}Cl_2F_3N_5$
Molecular Weight:	454.28
Target:	Apoptosis; c-Fms; c-Kit
Pathway:	Apoptosis; Protein Tyrosine Kinase/RTK
Solubility:	H2O : < 0.1 mg/mL (ultrasonic) (insoluble); DMSO : 60 mg/mL (132.08 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Pexidartinib hydrochloride (PLX-3397 hydrochloride) is a potent, orally active, selective, and ATP-competitive **colony stimulating factor 1 receptor (CSF1R or M-CSFR)** and **c-Kit** inhibitor, with **IC_{50}**s of 20 and 10 nM, respectively. Pexidartinib hydrochloride exhibits 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases. Pexidartinib hydrochloride induces cell **apoptosis** and has anti-cancer activity[1]. IC50 & Target: IC50: 10 nM (c-Kit), 20 nM (cFMS), 160 nM (FLT3), 350 nM (KDR), 860 nM (LCK), 880 nM (FLT1), 890 nM (NTRK3)[1] ***In Vitro:*** Pexidartinib hydrochloride (PLX-3397 hydrochloride) is a potent, selective and ATP-competitive CSF1R (cFMS) and c-Kit inhibitor, shows 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases, such as FLT3, KDR (VEGFR2), LCK, FLT1 (VEGFR1) and NTRK3 (TRKC), with IC_{50}s of 160, 350, 860, 880, and 890 nM, respectively[1]. ***In Vivo:*** Pexidartinib hydrochloride (0.25, 1 mg/kg, i.p., twice daily for 8 days) inhibits the proliferation of microglia and BrdU-positive cells in neonatal mice[2].

Pexidartinib hydrochloride (1 mg/kg, twice daily for 8 day) shows no obvious effect on the cleaved caspase-3-positive cells in mice[2].

Pexidartinib hydrochloride (50 mg/kg; p.o.; every second day for 3 weeks) reduces tissue macrophage levels without affecting glucose homeostasis in mice[4].

References:

[1]. DeNardo DG, et al. Leukocyte complexity predicts breast cancer survival and functionally regulates response to chemotherapy. Cancer Discov. 2011 Jun;1(1):54-67.

[2]. Kuse Y, et al. Microglia increases the proliferation of retinal precursor cells during postnatal development. Mol Vis. 2018 Jul 30;24:536-545. eCollection 2018.

[3]. Lee JH, et al. A phase I study of pexidartinib, a colony-stimulating factor 1 receptor inhibitor, in Asian patients with advanced solid tumors. Invest New Drugs. 2019 Mar 2.

[4]. Merry TL, et al. The CSF1 receptor inhibitor pexidartinib (PLX3397) reduces tissue macrophage levels without affecting glucose homeostasis in mice. Int J Obes (Lond). 2020;44(1):245-253.

CAIndexNames:

3-Pyridinemethanamine, N-[5-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)methyl]-2-pyridinyl]-6-(trifluoromethyl)-, hydrochloride (1:1)

SMILES:

FC(C1=CC=C(CNC2=NC=C(CC3=CNC4=NC=C(Cl)C=C43)C=C2)C=N1)(F)F.Cl

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA